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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
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NEWS 4 MAR 31 CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 5 MAR 31 LPCI now available as a replacement to LDPCI
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NEWS 9 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 10 APR 28 IMSRESEARCH reloaded with enhancements
NEWS 11 MAY 30 INPAFAMDB now available on STN for patent family searching
NEWS 12 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 13 JUN 06 EPFULL enhanced with 260,000 English abstracts
NEWS 14 JUN 06 KOREAPAT updated with 41,000 documents
NEWS 15 JUN 13 USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 16 JUN 19 CAS REGISTRY includes selected substances from web-based collections
NEWS 17 JUN 25 CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 18 JUN 30 AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 19 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 20 JUN 30 STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 21 JUN 30 STN AnaVist enhanced with database content from EPFULL
NEWS 22 JUL 28 CA/CAplus patent coverage enhanced
NEWS 23 JUL 28 EPFULL enhanced with additional legal status information from the epoline Register
NEWS 24 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 25 JUL 28 STN Viewer performance improved

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 16:37:28 ON 29 JUL 2008

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:37:48 ON 29 JUL 2008
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STRUCTURE FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-0
DICTIONARY FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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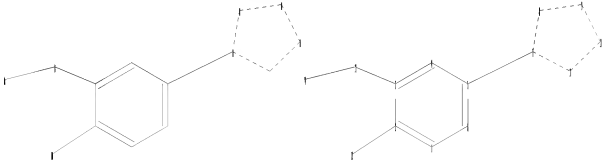
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10565801.str



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12 13 14
ring nodes :

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2-12  3-13  5-7  13-14
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-11  8-9  9-10  10-11
exact/norm bonds :
3-13  5-7  7-8  7-11  8-9  9-10  10-11  13-14
exact bonds :
2-12
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS



L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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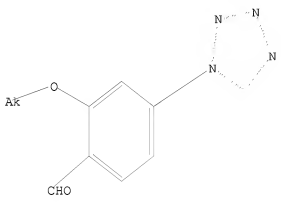


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Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 16:38:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       21 TO ITERATE

100.0% PROCESSED       21 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          146 TO      694
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 16:38:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      554 TO ITERATE
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100.0% PROCESSED 554 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 16:38:07 ON 29 JUL 2008
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FILE COVERS 1907 - 29 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 28 Jul 2008 (20080728/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> s l3
L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:129952 CAPLUS
DOCUMENT NUMBER: 142:198083
TITLE: Preparation of alkoxytetrazol-1-ylbenzaldehyde compound and process for producing the same
INVENTOR(S): Sagiya, Kazutaka; Sato, Yasuhiro
PATENT ASSIGNEE(S): Toyo Kasei Kogyo Company Limited, Japan
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012267	A1	20050210	WO 2004-JP10437	20040715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2531573	A1	20050210	CA 2004-2531573	20040715
EP 1650198	A1	20060426	EP 2004-747826	20040715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1826329	A	20060830	CN 2004-80020720	20040715
IN 2005MN00190	A	20070824	IN 2005-MN190	20050127
US 20070004939	A1	20070105	US 2006-565801	20060125
KR 783395	B1	20071213	KR 2006-702250	20060201
PRIORITY APPLN. INFO.:			JP 2003-283246 A	20030801
			WO 2004-JP10437 W	20040715

OTHER SOURCE(S): CASREACT 142:198083; MARPAT 142:198083
GI

I

II

AB A process for producing an alkoxytetrazol-1-ylbenzaldehyde represented by the general formula (I) (wherein A1 represents alkoxy and A2 represents hydrogen, alkyl, or fluoroalkyl) is characterized by reacting a

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1-(alkoxyphenyl)-1H-tetrazole compd. represented by the general formula (II) (wherein A1 and A2 are the same as defined above) with hexamethylenetetramine in a sulfonic acid solvent and subsequently hydrolyzing the reaction product. In this process, an alkoxytetrazol-1-ylbenzaldehyde compd., which is useful as an intermediate for drugs such as analgesics and antiinflammatory agents, can be safely and efficiently produced by formylating a 1-(alkoxyphenyl)-1H-tetrazole compd. Thus, 3 g 1-(2-methoxyphenyl)-1H-tetrazole, 15 mL methanesulfonic acid, 15 mL trifluoromethanesulfonic acid, and 4.77 g hexamethylenetetramine were added to a flask and heated at 100° with stirring for 3 h and cooled to room temp. The reaction mixt. was added to 30 mL water cooled in an ice bath, stirred at 5° for 30 min and extd. with CHCl3 (40 mL × 2) and the combined ext. was washed with 10% aq. NaOH soln. (90 mL) and H2O (90 mL), dried over anhyd. MgSO4 for 1 h, filtered, and evapd. under reduced pressure to give a crude product which was crystd. from a mixt. of 6 mL CHCl2 and 9 mL isopropanol to give 27.9% 4-methoxy-3-(1H-tetrazol-1-yl)benzaldehyde.
IT 838840-21-0P, 2-Methoxy-4-(5-methyl-1H-tetrazol-1-yl)benzaldehyde
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkoxytetrazol-1-ylbenzaldehydes by formylation involving reaction of (alkoxyphenyl)-1H-tetrazoles with hexamethylenetetramine in sulfonic acid and subsequent hydrolysis)
RN 838840-21-0 CAPLUS
CN Benzaldehyde, 2-methoxy-4-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                    5.93      184.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY     SESSION
CA SUBSCRIBER PRICE                                   -0.80       -0.80

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STRUCTURE FILE UPDATES:   28 JUL 2008  HIGHEST RN 1036756-19-0
DICTIONARY FILE UPDATES:  28 JUL 2008  HIGHEST RN 1036756-19-0

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experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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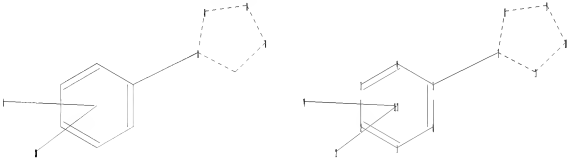

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ring nodes :
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chain bonds :
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exact/norm bonds :
5-7  7-8  7-11  8-9  9-10  10-11
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:Atom  14:CLASS  15:Atom



L5      STRUCTURE UPLOADED

=>
=> d
L5 HAS NO ANSWERS
L5              STR
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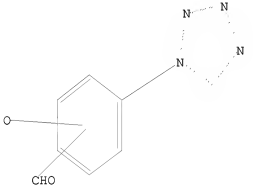


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Structure attributes must be viewed using STN Express query preparation.


=> s l5
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SAMPLE SCREEN SEARCH COMPLETED -     3502 TO ITERATE

 57.1% PROCESSED     2000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        66491 TO    73589
PROJECTED ANSWERS:               0 TO        0

L6            0 SEA SSS SAM L5

=> s l5 full
FULL SEARCH INITIATED 16:40:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    71825 TO ITERATE
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100.0% PROCESSED 71825 ITERATIONS 54 ANSWERS
SEARCH TIME: 00.00.01

L7 54 SEA SSS FUL L5

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	179.28	363.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.80

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FILE COVERS 1907 - 29 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 28 Jul 2008 (20080728/ED)

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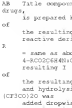
=> s l7
L8 31 L7

=> d ibib abs hitstr tot
THE ESTIMATED COST FOR THIS REQUEST IS 168.95 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L8 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1435235 CAPLUS
DOCUMENT NUMBER: 148:55077
TITLE: Preparation of 2-hydroxy-5-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde and its intermediates
INVENTOR(S): Tsuguchi, Mitsuyuki; Okuraka, Kyouichi; Imamoto, Hideaki; Sanagaki, Takuya; Yamamoto, Tomomi; Mori, Toshiharu
PATENT ASSIGNEE(S): Avapasali Chemical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18pp.
CODEN: JKXAAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007320928	A	20071213	JP 2006-155045	20060602
PRIORITY APPLN. INFO.:			JP 2006-155045	20060602

OTHER SOURCE(S): MARPAT 148:55077
GI



AB Title compound I [X = H, A = CHO] (II), useful as an intermediate for drugs, is prepared by (1) N-trifluoroacetylation of 4-HOC6H4NH2, (2) acylation of the resulting 4-HOC6H4NHCOCF3 with RCO2R [R = C1-3 alkyl] or their reactive derivs., (3) treatment of the resulting 4-RCO2C6H4NHCOCF3 [III; A = same as above; with PPh3 and CCl4, (4) reaction of the resulting 4-RCO2C6H4N:CCl2CF3 [R = same as above] with aziden, (5) hydrolysis of the resulting I [X = COR (R = same as above); A = H] [IV], and (6) reaction of the resulting I [X = H, A = H] (V) with hexamethylenetetramine in MeSO3H and hydrolysis of the resulting product. Thus, TFF solution of (CF3CO)2O was added dropwise to a mixture of TEF and 4-HOC6H4NH2 at 20-50° and the reaction mixture was stirred at 25° for 2 h. After the reaction, AcOH was added at 20-40° and the mixture was stirred at 25° for 2.5 h to give 95.4% III [R = Me]. This was treated with PPh3 and CCl4 in toluene at 70° for 4 h and the resulting product was treated

L8 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
with NaN3, H2O, and AcOH in toluene at 25° for 4.5 h to give 85.3% IV [R = Me]. A mixt. of the tetrazole deriv., MeOH, toluene, and MeSO4 was stirred at 50° for 6 h to give 80.8% V. V was treated with MeSO3H and hexamethylenetetramine at 90° for 5 h, the reaction mixt. was mixed with AcOH and added dropwise to H2O to give 79.8% II.
IT 169267-01-4P, 2-Hydroxy-5-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of hydroxy(trifluoromethyltetrazolyl)benzaldehyde as drug intermediates from aminophenol and its intermediates)
RN 169267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1364124 CAPLUS
DOCUMENT NUMBER: 148:11240
TITLE: Preparation of heterocyclyls type cinnamide compounds for inhibiting amyloid-β production
INVENTOR(S): Kimura, Teiji; Kawano, Koki; Doi, Eriko; Kitazawa, Noritaka; Miyagawa, Takehiko; Sato, Nobuaki; Kaneko, Toshihiko; Shin, Kogyoku; Ito, Koichi; Takaishi, Hamory; Sasaki, Takeo; Kagiwara, Hiroaki
PATENT ASSIGNEE(S): Kissi N & D Management Co., Ltd., Japan
SOURCE: PCT Int. Appl., 295pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007135970	A1	20071129	WO 2007-JP60188	20070518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			JP 2006-140606 A	20060519

OTHER SOURCE(S): MARPAT 148:11245
GI

L8 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preps. of heterocyclyls type cinnamide compds. for inhibiting amyloid-β prodn.)
RN 958228-06-3 CAPLUS
CN Benzaldehyde, 3-methoxy-4-[5-methyl-1H-tetrazol-1-yl]- (CA INDEX NAME)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Ar1 = triazolyl or tetrazolyl (wherein triazolyl and tetrazolyl are optionally substituted with halo, cyano, nitro, etc.); Ar2 = pyridinyl, pyrimidinyl or Ph (wherein pyridinyl, pyrimidinyl and Ph are optionally substituted with halo, hydroxy, cyano, etc.); X1 = C:tribond.C- or -CR3:CR4-; R3, R4 = H, halo, aromatic carbocycle (optionally substituted with halo, hydroxy, cyano, etc.), etc.; R1, R2 = halo, hydroxy, cyano, etc.; R1 and R2, taken together with the nitrogen atom to which they are attached, may form (un)substituted Q1, etc.; Y1 = -NH-, -O-, -S-, etc.; n1, m1 = 0-4] and their pharmacol. acceptable salts were prepared. For example, a multi-step synthesis of compound II [R = (R)-1-(3,4,5-trifluorophenyl)ethyl], starting from 3,4,5-trifluoroacetophenone, was given. In amyloid-β 42 (A β 42) production-inhibition assays, the IC50 value of compound II [R = (3R,3'R)-1-(4-fluorophenyl)-2-hydroxypropyl] was 0.05 μM. Compds. I are claimed useful for the treatment of Alzheimer's disease, cognition disorder, etc.
IT 958228-06-3P

L8 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1154411 CAPLUS
DOCUMENT NUMBER: 145:471540
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists
INVENTOR(S): Nagaoka, Nami; Marutaka, Shuqeyuki; Fukuta, Makoto
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 323pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006115285	A1	20061102	WO 2006-JP308919	20060421

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-124335 A 20050421

OTHER SOURCE(S): MARPAT 145:471540
AB The title compds. (no mol. data) are prepared This document discloses a pharmaceutical composition comprising N-[2-[(3R,4R)-4-[(2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino]-3-phenylpiperidin-1-yl]-2-oxoethyl]acetamide (I), a salt or a prodrug thereof, a solvr and a hydrophilic water-insol. substance. Thus, N-[2-[(3R,4R)-4-[(2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino]-3-phenylpiperidin-1-yl]-2-oxoethyl]acetamide was prepared in 3 steps from (3R,4R)-4-amino-3-phenylpiperidine-1-carboxylic acid tert-Bu ester and 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde. Formulations containing I are given. Tablets containing I showed high elution stability.

IT 168267-22-4, 2-Hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 168267-11-6, 2-Methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 215246-36-8, 2-(Cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidine derivs. as tachykinin receptor antagonists)

RN 168267-22-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 215246-36-8 CAPLUS
CN Benzaldehyde, 2-(cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

IT 183808-94-8P, 2-Ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine derivs. as tachykinin receptor antagonists)

RN 183808-94-8 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1141178 CAPLUS
DOCUMENT NUMBER: 145:455020
TITLE: Method for preparing 2-methoxy-5-(5-trifluoromethyl-1H-tetrazole-1-yl)benzaldehyde
INVENTOR(S): Zhou, Guozhuang Lu, Ding
PATENT ASSIGNEE(S): Hengdian Group Chemgdu Molecular Lab Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1850810	A	20061025	CN 2005-10020770	20050422

PRIORITY APPLN. INFO.: CN 2005-10020770 20050422

OTHER SOURCE(S): CASREACT 145:455020; MARPAT 145:455020
AB The title method comprises: carrying out methylation of 5-nitrosalicylaldehyde, aldehyde protection, reduction, obtaining of imino chlorine-group, ring formation, and de-protection to obtain the final product.
IT 168267-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of methoxy(trifluoromethyltetrazolyl)benzaldehyde from nitrosalicylaldehyde)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

ACCESSION NUMBER: 2004:1129817 CAPLUS
DOCUMENT NUMBER: 145:455019
TITLE: Piperidine tetrazole derivatives, process for producing the same, crystals of, and use as tachykinan receptor antagonists for treating diseases of the lower urinary tract and the like
INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Shirai, Junya; Takeshi, Yoshikawa; Nakatani, Hiroshi; Yamano, Mitsuhisa; Murase, Masahiro; Ito, Hiroyuki
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 58pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040241145	A1	20041202	US 2004-807209	20040329
WO 2004115538	A1	20041202	WO 2004-JP3921	20040324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EA, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2003-124334 A 20030501

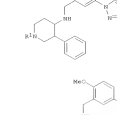
OTHER SOURCE(S): MARPAT 145:455019
CL

RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

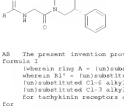
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 183808-94-8 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 225246-36-6 CAPLUS
CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



I

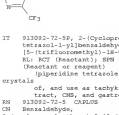


II

AB The present invention provides a piperidine derivative of general formula I

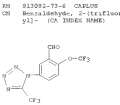
(wherein ring A = (un)substituted piperidine ring; R1 = H or R1'-C(:O)- wherein R1' = (un)substituted 5-6-membered N-containing heterocycle, (un)substituted C1-6 alkyl, or (un)substituted C1-6 alkoxy; and R2 = H, (un)substituted C1-3 alkyl or C3-6 cycloalkyl) having antagonistic action for tachykinin receptors and the like, a crystal thereof, and an agent for the prophylaxis or treatment of diseases including lower urinary tract disease and the like, which contains the derivative. Specifically, the present invention provides an optically active compound represented by I, and a salt thereof. A process for preparation of I is also claimed. For example, II was prepared in 3 steps from tert-Bu (3R,4S)-4-amino-3-phenylpiperidine-1-carboxylate and 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde. In an in vitro assay with human substance P receptor, II had an IC50 of 0.17 nM.

IT 168267-01-4, 2-Hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 168267-11-6, 2-Methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 183808-94-8, 2-Ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 225246-36-6, 2-(Cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(piperidine tetrazole derivs., process for producing the same, crystals of, and use as tachykinin receptor antagonists for treating urinary tract, CNS, and gastrointestinal diseases)



IT 913092-72-5P, 2-(Cyclopropylmethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 913092-73-6P, 2-(Trifluoromethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); RPH (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(piperidine tetrazole derivs., process for producing the same, crystals of, and use as tachykinin receptor antagonists for treating urinary tract, CNS, and gastrointestinal diseases)

RN 913092-72-5 CAPLUS
CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 913092-73-6 CAPLUS
CN Benzaldehyde, 2-(trifluoromethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1298258 CAPLUS
DOCUMENT NUMBER: 144:36250
TITLE: Preparation of 3-arino-2-phenylpyrrolidine derivatives as NK1 antagonists
INVENTOR(S): Humphrey, John Michael; Chappie, Thomas Allen
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

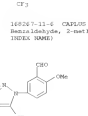
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113519	A1	20051208	WO 2005-IB1441	20050513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
NO, SE, SN, TD, TG				
CA 2568046	A1	20051208	CA 2005-2568046	20050513
EP 1753728	A1	20070221	EP 2005-742452	20050513
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
BR 2005020457	A	20071023	BR 2005-10457	20050513
JP 2008540324	T	20080110	JP 2007-514168	20050513
US 20050288358	A1	20051229	US 2005-129813	20050525
US 7381743	B2	20080603		
MX 2006013477	A	20070213	MX 2006-PA13477	20061124
PRIORITY APPLN. INFO.:			US 2004-5741169P	P 20040525
			WO 2005-IB1441	W 20050513

OTHER SOURCE(S): MARPAT 144:36250
GI

I

II

L8 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB Title compds. I [R1-2 = H, alkyl, halo, etc.; R3 = Ph, biphenyl, naphthyridinyl, etc.; the configuration at **d centers are cis or trans relative to each other] and analogs are prepared. For instance, II is prepared
in 7 steps from 2-phenyl-1-(toluene-4-sulfonyl)-2,5-dihydropyrrole-3-carboxylic acid Et ester and o-anisaldehyde. I are NK1 antagonists [no data] useful for the treatment of a variety of diseases.
IT 168267-01-4 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-amino-2-phenylpyrrolidine derivs. as NK1 antagonists)
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



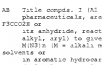
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:522120 CAPLUS
DOCUMENT NUMBER: 143:43886
TITLE: Preparation of alkoxy(trifluoromethyltetrazolyl)benzaldehydes
INVENTOR(S): Hagitani, Kazutake; Sato, Yasuhiro; Tanaka, Hikaru; Tanaka, Yuji
PATENT ASSIGNEE(S): Toyo Kasei Kogyo Co., Ltd., Japan
SOURCE: Jpn. Tokkyo Kokyo Koho, 29 pp.
CODEN: JKXXAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005154400	A	20050616	JP 2004-309978	20041025
PRIORITY APPLN. INFO.:			JP 2003-375426	A 20031105

OTHER SOURCE(S): MARPAT 143:43886
GI



I

AB Title compds. I (R1 = alkoxy), useful as intermediates for pharmaceuticals, are prepared by amidation of alkoxyanilines with PhCOCl or its anhydride, reaction with carbon tetrahalides and P(NR2)3 (R2 = C4-8 alkyl, aryl) to give ArCBrN:CHCF3 (Ar = alkoxy; X = halo), reaction with N(CH3)3 (M = alkali metal, alkaline earth metal; n = 1-2) in polar solvents or
in aromatic hydrocarbons in the presence of amine salts to give tetrazole derivative, reaction with hexamethylenetetramine (II) in sulfonic acid solvents, and hydrolysis. P-MeOC6H4CH:CClCF3 was treated with NaN3 and HClN:HCl in PhMe at 90° for 15 h to give 99.2% 3-(4-methoxyphenyl)-5-trifluoromethyl-1H-tetrazole, which was treated with II in MeSO3H/CF3CO3H at 100° for 2 h and hydrolyzed to give 69.7% I (R1 = OMe).
IT 168267-11-6P 839868-00-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkoxy(trifluoromethyltetrazolyl)benzaldehydes from alkoxyanilines)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 839840-00-9 CAPLUS
CN Benzaldehyde, 4-methoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

ACCESSION NUMBER: 2005:129952 CAPLUS
DOCUMENT NUMBER: 142:198083
TITLE: Preparation of alkoxytetrazol-1-ylbenzaldehyde compound and process for producing the same
INVENTOR(S): Hayiya, Kazutaka; Sato, Yasuhiro
PATENT ASSIGNEE(S): Toyo Kasei Kogyo Company Limited, Japan
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012267	A1	20050210	WO 2004-JP10437	20040715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2531573	A1	20050210	CA 2004-2531573	20040715
EP 1650198	A1	20060426	EP 2004-747826	20040715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1826329	A	20060830	CN 2004-80029720	20040715
IN 2005DN06102	A	20070824	IN 2005-DN6102	20051227
US 20070004670	A1	20070315	US 2006-565801	20060125
KR 783395	B1	20071213	KR 2006-702250	20060201
PRIORITY APPLN. INFO.:			JP 2003-281266 A	20030728
			WO 2004-JP10437 W	20040715

OTHER SOURCE(S): CASREACT 142:198083; MARPAT 142:198083
GI

I

II

AB A process for producing an alkoxytetrazol-1-ylbenzaldehyde represented by the general formula (I) (wherein A1 represents alkoxy and A2 represents hydrogen, alkyl, or fluoroalkyl) is characterized by reacting a

RN 168267-04-7 CAPLUS
CN Benzaldehyde, 5-[5-ethyl-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX NAME)

RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 183808-94-8 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

1-(alkoxyphenyl)-1H-tetrazole compd. represented by the general formula (II) (wherein A1 and A2 are the same as defined above) with hexamethylenetetramine in a sulfonic acid solvent and subsequently hydrolyzing the reaction product. In this process, an alkoxytetrazol-1-ylbenzaldehyde compd., which is useful as an intermediate for drugs such as analgesics and antiinflammatory agents, can be safely and efficiently produced by formylating a 1-(alkoxyphenyl)-1H-tetrazole compd. Thus, 3 g 1-(2-methoxyphenyl)-1H-tetrazole, 15 mL methanesulfonic acid, 15 mL trifluoromethanesulfonic acid, and 4.77 g hexamethylenetetramine were added to a flask and heated at 100° with stirring for 3 h and cooled to room temp. The reaction mixt. was added to 30 mL water cooled in an ice bath, stirred at 5° for 30 min and extd. with CHCl3 (90 mL × 2) and the combined ext. was washed with 12% aq. NaOH soln. (90 mL) and H2O (90 mL), dried over anhyd. MgSO4 for 1 h, filtered, and evapd. under reduced pressure to give a crude product which was crystd. from a mixt. of 6 mL CHCl2 and 9 mL isopropanol to give 27.9% 4-methoxy-3-(1H-tetrazol-1-yl)benzaldehyde.

3T 168267-02-9, 2-Methoxy-5-(1H-tetrazol-1-yl)benzaldehyde
168267-03-0, 2-Methoxy-5-(5-methyl-1H-tetrazol-1-yl)benzaldehyde
168267-04-7, 2-Methoxy-5-(5-ethyl-1H-tetrazol-1-yl)benzaldehyde
168267-11-6, 2-Methoxy-5-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde 183808-94-8, 2-Ethoxy-5-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde 838839-90-9, 4-Methoxy-3-(1H-tetrazol-1-yl)benzaldehyde 838839-99-8, 4-Methoxy-3-(5-methyl-1H-tetrazol-1-yl)benzaldehyde 838840-00-9, 4-Methoxy-3-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde 838840-01-0, 2-Methoxy-4-(5-methyl-1H-tetrazol-1-yl)benzaldehyde
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (alkoxytetrazol-1-yl)benzaldehydes by formylation involving reaction of (alkoxyphenyl)-1H-tetrazoles with hexamethylenetetramine in sulfonic acid and subsequent hydrolysis)

RN 168267-02-9 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-03-0 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 838839-98-8 CAPLUS
CN Benzaldehyde, 4-methoxy-3-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 838839-99-9 CAPLUS
CN Benzaldehyde, 4-methoxy-3-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 838840-00-9 CAPLUS
CN Benzaldehyde, 4-methoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 838840-01-0 CAPLUS
CN Benzaldehyde, 2-methoxy-4-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

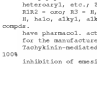
ACCESSION NUMBER: 2004:1127335 CAPLUS
DOCUMENT NUMBER: 142:74458
TITLE: Preparation of phenylpiperidine derivatives as Tachykinin antagonists
INVENTOR(S): Take, Kazuhiko; Toyo, Takashi; Asari, Hidenori
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111090	A2	20041223	WO 2004-JP8371	20040609
WO 2004111090	A3	20050526		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2003-902882 A 20030610

OTHER SOURCE(S): MARPAT 142:74458
GI



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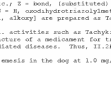
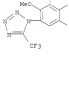


II

AB Phenylpiperidines of formula I [X = NH, O; Y = (un)substituted aryl, heteroaryl, etc.; Z = bond, (substituted) methylene; R1, R2 = H, alkyl; R1R2 = oxo; R3 = H, oxodihydrotriazolylmethyl, protecting group; R4, R5 = H, halo, alkyl, alkoxy] are prepared as Tachykinin antagonists. The compds. have pharmacol. activities such as Tachykinin antagonism, and is useful for the manufacture of a medicament for treating or preventing Tachykinin-mediated diseases. Thus, II.2HCl was prepared, and showed 100% inhibition of emesis in the dog at 1.0 mg/kg.

IT 811802-69-4P 811802-74-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylpiperidine derivs. as Tachykinin antagonists)

RN 811802-69-4 CAPLUS
CN Benzaldehyde, 2,4,6-trimethoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



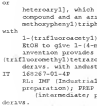
RN 811802-74-1 CAPLUS
CN Benzaldehyde, 2,3,6-trimethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

ACCESSION NUMBER: 2004:1018650 CAPLUS
DOCUMENT NUMBER: 142:6542
TITLE: Process for preparation of 1-phenyl-5-(trifluoromethyl)tetrazole derivatives and intermediates
INVENTOR(S): Mizuno, Masahiro; Maeda, Hiroyuki; Yamano, Mitsuhisa
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004331655	A	20041125	JP 2004-117667	20040413
			JP 2003-109095 A	20030414

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 142:6542
GI



A CF3 I

AB This invention pertains to a method for producing title compds. with general formula I [wherein A = (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl], which comprises reacting Ph3P=N-A with a trifluoroacetyl compound and an azide compound. For example, N-(4-methoxyphenyl)triphenylphosphinimide (preparation given) was reacted with 1-(trifluoroacetyl)imidazole and diphenylphosphoryl azide in toluene and EtOH to give 1-(4-methoxyphenyl)-5-(trifluoromethyl)-1H-tetrazole. This invention provides a convenient method to prepare (trifluoromethyl)tetrazole derivs. with industrial advantages.

IT 168267-01-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 1-phenyl-5-(trifluoromethyl)tetrazole derivs. and intermediates)

RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 168267-11-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1-phenyl-5-(trifluoromethyl)tetrazole derivs. and intermediates)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:287833 CAPLUS
DOCUMENT NUMBER: 140:303681
TITLE: Preparation of radiolabeled fluoroalkoxytrifluoromethyltetrazolylbenzylpiperidinylpiperidinylamines for the labeling and diagnostic imaging of neurokinin-1 receptors in mammals
INVENTOR(S): Burns, R. Donald; Eng, Wai-Si; Gibson, Raymond E.; Hamill, Terence G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029024	A2	20040408	WO 2003-US29707	20030919
WO 2004029024	A3	20040708		
W: CA, CN, US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2499925	A1	20040408	CA 2003-2499925	20030919
EP 1545525	A2	20050629	EP 2003-753948	20030919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
US 20050214204	A1	20050929	US 2005-528888	20050323
US 7754935	B2	20100706		
PRIORITY APPLN. INFO.:			US 2002-413223P	P 20020924
			WO 2003-US29707	W 20030919

OTHER SOURCE(S): MARPAT 140:303681
GI

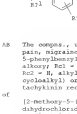
AB Title compds. (I; A = CD2, CH2CH2), were prepared Thus, bromoethyl triflate
in o-dichlorobenzene was added to 18F-/Kryptofix222 with distn of 18FCH2CH2Br; formed into a 0° vial of (2S,3S)-1-tert-butoxycarbonyl-2-phenyl-3-(2-hydroxy-5-(5-trifluoromethyltetrazol-1-yl)phenylmethylamino)piperidine (preparation given) and Cs2CO3 in DMF followed

L8 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
by heating to 110° for 10 min, followed by removal of DMF, addition of CF3CO2H, and heating at 110° for 30 s to give [18F]-2-fluoroethoxy-5-[5-trifluoromethyltetrazol-1-yl]benzyl-[(2S,3S)-2-phenylpiperidin-3-yl]amine (I?). In a chase study using an unlabeled NK1 antagonist in a monkey, I? chased from the striatum faster than the fluoroethoxy analog, giving a more accurate picture of true receptor occupancy.
IT 168267-02-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of radiolabeled fluoroalkoxytrifluoromethyltetrazolylbenzylphenylpiperidinylpiperidinylamines for the labeling and diagnostic imaging of neurokinin-1 receptors)
RN 168267-02-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:19907 CAPLUS
DOCUMENT NUMBER: 140:71050
TITLE: Pharmaceutical compositions containing 5-phenylbenzylamine derivatives as tachykinin receptor antagonists
INVENTOR(S): Takahashi, Masaru; Miyake, Tsutomu; Yamakita, Hirokazu; Saito, Akira; Asai, Hidetoshi
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004002334	A	20040108	JP 2003-79326	20030324
PRIORITY APPLN. INFO.:			JP 2002-82304 A	20020325

OTHER SOURCE(S): MARPAT 140:71050
GI



AB The compds., useful for treatment of inflammation, allergic diseases, pain, migraine, neuralgia, cough, vomiting, dysuria, etc., contain 5-phenylbenzylamine derivs. I [Ra, Rb1, Rb2 = H, halo, alkyl, haloalkyl, alkoxy; Rc1 = H, alkyl which may be substituted with heterocyclyl, aryl; Rc2 = H, alkyl; Rd = H, alkyl, acyl; Re = H, alkyl; Rf = alkyl, cycloalkyl) or their pharmacol. acceptable salts as antagonists for tachykinin receptors especially neurokinin 1 receptors. Inhibition rate of
[2-methoxy-5-(4-fluorophenyl)benzyl][(2S,3S)-2-phenylpiperidin-3-yl]amine dihydrochloride (preparation given) against GR 73632 (NK1 receptor agonist)-induced foot tapping was higher than that of (2S,3S)-3-(2-methoxy-5-phenylbenzyl)amino-2-phenylpiperidine. This compound also showed strong
antiemetic effect against cisplatin-induced vomiting in ferrets.
IT 168267-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

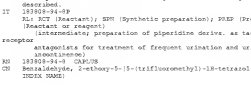
L8 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of [phenylbenzyl](phenylpiperidinyl)amine derivs. as NK1 receptor antagonists)

RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:972857 CAPLUS
DOCUMENT NUMBER: 140:27765
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence
INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki.; Shirai, Junya; Yamashita, Masayuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd , Japan
SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101964	A1	20031211	WO 2003-JP6754	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2487698	A1	20031211	CA 2003-2487698	20030529
AU 2003241903	A1	20031219	AU 2003-241903	20030529
BR 2003011425	A	20050315	BR 2003-11425	20030529
EP 1553084	A1	20050713	EP 2003-733151	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1671662	A	20050921	CN 2003-818354	20030529
NZ 537330	A	20070427	NZ 2003-537330	20030529
JP 2004285038	A	20041014	JP 2003-154345	20030530
MX 2004PA11730	A	20050714	MX 2004-PA11730	20041125
US 20060167052	A1	20060727	US 2004-516252	20041129
ZA 2004010085	A	20060726	ZA 2004-10085	20041214
IN 2004MN01942	A	20061201	IN 2004-MN1942	20041216
NO 2004005701	A	20050216	NO 2004-5701	20041229
PRIORITY APPLN. INFO.:			JP 2002-159338 A	20020531
			JP 2003-17885 A	20030127
			WO 2003-JP6754 W	20030529
OTHER SOURCE(S):		MARPAT 140:27765		
GI				

L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Y = (un)substituted CHR2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl, with exclusions] or prodrugs or salts thereof are prepared . I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II·xHCl was prepared in a multi-step synthesis.

IT
showed antagonistic activity with IC50 of 0.025 nM against human substance
P receptor. Formulations containing I as an active ingredient were also described.

IT 183808-94-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidine derivs. as tachykinin receptor
antagonists for treatment of frequent urination and urinary incontinence)

RN 183808-94-9 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

IT 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidine derivs. as tachykinin receptor antagonists for
treatment of frequent urination and urinary incontinence)

RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:950997 CAPLUS
DOCUMENT NUMBER: 140:16648
TITLE: Preparation of N-[arylmethoxycarbonyl]- and N-[arylmethylaminocarbonyl]piperidines as substance P receptor antagonists
INVENTOR(S): Takahashi, Masami; Miyake, Tsutomu; Moritani, Yasunori; Asai, Hidetoshi; Ishii, Taketoshi; Kono, Rikako
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099787	A1	20031204	WO 2003-JP6720	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
JP 2004141178	A	20040520	JP 2003-148644	20030527
TW 283241	B	20070721	TW 2003-92114229	20030527
CA 2487306	A1	20031204	CA 2003-2487306	20030529
AU 2003240015	A1	20031212	AU 2003-240015	20030529
AU 2003240015	B2	20080103		
BR 2003011410	A	20050315	BR 2003-11410	20030529
EP 1523314	A1	20050316	EP 2003-733139	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, LT, LV, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
CN 1656071	A	20050817	CN 2003-812260	20030529
NZ 537185	A	20070223	NZ 2003-537185	20030529
NO 2294927	C2	20070330	NO 2004-138594	20030529
MX 2004011764	A	20050331	MX 2004-PA11764	20041126
ZA 2004009729	A	20060726	ZA 2004-9729	20041201
NO 2004005500	A	20050214	NO 2004-5500	20041216
IN 2004CN02950	A	20060217	IN 2004-CN2950	20041227
US 20052739529	A1	20051207	US 2005-513845	20050413

PRIORITY APPLN. INFO.: JP 2002-155744 A 20020529
US 2002-3953422P P 20020712
JP 2002-248755 A 20020828
US 2002-4039953P P 20020911
WO 2003-JP6720 W 20030529

OTHER SOURCE(S): MARPAT 140:16648
GI

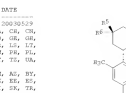
L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of N-[arylmethoxycarbonyl]- and N-[arylmethylaminocarbonyl]piperidines as substance P receptor antagonists for the treatment of inflammation and conditions such as urinary disorders)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-[trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

I



II

AB N-[arylmethoxycarbonyl]- and N-[arylmethylaminocarbonyl]piperidines I [R1 = alkyl, (un)substituted hydroxy, mercapto, carboxyl, sulfinyl, sulfonyl; R1R1IN; R2 = H, halogen; (un)substituted hydroxy, amino, alkyl, or carboxyl group; R3, R4 = H, (un)substituted alkyl; R1, R2 = H, (un)substituted carboxyl, sulfonyl, alkyl, heterocyclyl (containing 1-4 nitrogen, oxygen, or sulfur atoms); R1R1IN may form an (un)substituted heterocyclyl moiety from the list of piperidinyl, hexahydroazepinyl, pyrrolidinyl, imidazolidinyl, hexahydropyrimidinyl, thiazolidinyl, morpholinyl, triazolyl, tetrazolyl, pyrimidyl; X = O, NR3; both of the explicit Ph rings may be substituted] such as II are prepared as tachykinin
receptor antagonists (and particularly substance P receptor antagonists) for the treatment of inflammation, allergies, pain, nausea, central nervous system and digestive diseases, and urinary and immune disorders. Addition of 4-fluoro-2-methylphenylmagnesium bromide to 4-methoxypyridine followed by acylation with benzyloxycarbonyl chloride, reduction of the dihydropiridone with zinc and acetic acid, protection of the ketone as the di-Me acetal, reduction of the benzyloxycarbonyl group with hydrogen in
the presence of palladium on carbon, addition of 3,5-(F3C)2C6H3CNHNH2 to 1,1'-carbonylimidazole followed by addition of the piperidine, acid cleavage
of the acetal, and reduction of the ketone, gives a mixture of the racemic
piperidinols II (R5 = H, HO; R6 = HO, H). Approx. 500 example compds. are
prepared (no biol. data).

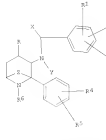
L8 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:778721 CAPLUS
DOCUMENT NUMBER: 137:294877
TITLE: Preparation of benzylamino derivatives of 1-phenyl-8-azabicycle[3.2.1]octane and their use as NK1 receptor antagonists
INVENTOR(S): Fulagnowski, Janusz Józef; Raubo, Piotr Antoni; Thomson, Christopher George
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 23 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020147212	A1	20021010	US 2002-113965	20020401
US 6555552	B2	20030429		
WO 2004031190	A1	20040415	WO 2002-GB4515	20021004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002374100	A1	20040423	AU 2002-374100	20021004
EP 1551834	A1	20050713	EP 2002-807881	20021004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006503858	T	20060202	JP 2004-543999	20021004

PRIORITY APPLN. INFO.: GB 2001-8971 A 20010410
WO 2002-GB4515 A 20021004

OTHER SOURCE(S): MARPAT 137:294877
GI



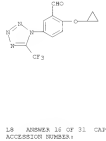
AB Benzylamino deriv. of 1-phenyl-8-azabicyclo[3.2.1]octane [I; wherein X = N, [C1-C4]alkyl optionally substituted by hydroxy; Y = N, [C1-C5]alkyl, [C3-C7]cycloalkyl; Z = substituted St group; R, R1, R2, R3, R4, R5, R6, independently = H, CN, [C1-C5]alkyl, etc.; when R2 is adjacent to R1, they may be joined together to form a 5- or 6-membered saturated or unsatd. ring] were prepared For example, (1R*,2R*,5S*)-2-amino-1-phenyl-8-azabicyclo[3.2.1]octane (synthetic preparation given) and 3,5-bis(trifluoromethyl)benzaldehyde were reacted to give (1R*,2R*,5S*)-2-[3,5-bis(trifluoromethyl)benzylamino]-1-phenyl-8-azabicyclo[3.2.1]octane•2H Cl. The compds. are useful as NK1 receptor antagonists. The compds. are of particular use in the treatment or prevention of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia.

IT 225246-76-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzylamino deriv. of 1-Ph-8-azabicyclo[3.2.1]octane and use as NK1 receptor antagonists)

RN 225246-76-6 CAPLUS

CN Benzaldehyde, 2-(cyclopropyloxy)-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



ACCESSION NUMBER: 2002:539678 CAPLUS
DOCUMENT NUMBER: 137:109295
TITLE: Preparation of 1-(2-methoxybenzyl)-3-benzhydrylpiperazines as tachykinin antagonists
INVENTOR(S): Take, Kazukiko; Kasahara, Chiyoshi; Shigenaga, Shinji; Arani, Hidenori; Ikkyu, Yoshiteru; Hakai, Karuo; Morita, Masataka
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055518	A1	20020718	WO 2001-JP11246	20011221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2433084	A1	20020718	CA 2001-2433084	20011221
AU 2002219532	A1	20020724	AU 2001-2195132	20011221
EP 1368343	A1	20031210	EP 2001-273188	20011221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004517863	T	20040617	JP 2002-556187	20011221
US 20040102403	A1	20041104	US 2003-451365	20030630
PRIORITY APPLN. INFO.:			JP 2001-2373	A 20010102
			WO 2001-JP11246	W 20011221

OTHER SOURCE(S): MARPAT 137:109295
GI

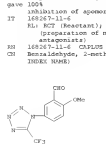
AB Title compds. [I; Q = Q1, Q2, Q3, etc.; R4 = H, alkanoyl, alkyl, carbamoylalkyl, alkoxycarbonyl, pyridyl, alkylpyrazolyl; R5 = H, alkoxycarbonyl; R6 = H, halo, O, OH, OH, alkanoyloxy, cyano, carbamoyl, amino, etc.; R7 = H, halo; R8 = H, O, alkanoyloxy, NO, amino, etc.; R9 = H, (substituted) alkanoyl, cycloalkylcarbonyl, acetidinylcarbonyl, pyridylcarbonyl, pyrazinylcarbonyl, alkylsulfonyl, alkylsulfonyl, etc.; R1-R3 = H, halo, alkyl, alkoxy, tetrazolyl, haloalkyltetrazolyl], were prepared Thus, (2R)-2-benzhydryl-4-[2,6-dimethoxy-3-(5-(trifluoromethyl)-1H-tetrazol-1-yl)benzyl]-1-[(4-methyl-1H-pyrazol-4-yl)methyl]piperazine dihydrochloride (general preparation given) at 1.0 mg/kg i.v. in dogs gave 100% inhibition of spontaneous-induced emesis in dogs.

IT 168267-11-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of methoxybenzhydrylpiperazines as tachykinin antagonists)

RN 168267-11-6 CAPLUS

CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



IT 442903-43-7P 442903-45-9P 442903-46-0P 442903-52-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of methoxybenzhydrylpiperazines as tachykinin antagonists)

L8 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 442963-43-7 CAPLUS
CN Benzaldehyde, 2,6-dimethoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 442963-45-9 CAPLUS
CN Benzaldehyde, 6-methoxy-2-methyl-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 442963-46-0 CAPLUS
CN Benzaldehyde, 3-chloro-2,6-dimethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 442963-52-8 CAPLUS
CN Benzaldehyde, 2,6-diethoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:19450 CAPLUS
DOCUMENT NUMBER: 136:85824
TITLE: Preparation of benzhydryl derivatives as tachykinin antagonists
INVENTOR(S): Take, Kazuhiko; Kazahara, Chiyoshi; Shigenaga, Shinji; Asani, Hidenori; Ikkyu, Yoshiteru; Hakai, Karuo; Morita, Masataka
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000631	A2	20020103	WO 2001-JP5424	20010625
WO 2002000631	A3	20020509		
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1294708	A2	20030326	EP 2001-943821	20010625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004501903	T	20040122	JP 2002-505379	20010625
US 20030176405	A1	20030918	US 2002-297937	20021205
US 6787543	B2	20040907		
PRIORITY APPLN. INFO.:			AU 2000-8454	A 20000629
			AU 2001-2373	A 20010102
			WO 2001-JP5424	W 20010625
OTHER SOURCE(S):	MARPAT 136:85824			
GI				

L8 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB The title compds. including 2-benzhydrylpiperazine, 4-benzhydrylhexahydropyrrolo[1,2-a]pyrazine, 4-benzhydrylimidazo[1,2]pyrazin-6-ones, and 2-benzhydrylmorpholine derivs. [I, II, and III; R1, R2 = H, halo, lower alkoxy, lower alkyl, mono(or di or tri) halo(lower)alkyl; R10 = H, lower alkyl optionally substituted with lower alkoxy, carbamoyl, or phenyl; R11, R12, R13, R14 = H, lower alkoxycarbonyl or lower alkyl optionally substituted with hydroxy or lower alkoxy, and R10 and R14 optionally forming (CH2)nCHR15(CH2)m, (CH2)nNHR16(CH2)m, (CH2)nOCH2CO or (CH2)nO(CH2)m (wherein n, m = 1,2; R15 = H, halo, lower alkyl, HO, lower alkoxy, amino, lower alkylamino or di (lower)alkylamino; R16 = H, lower alkyl, lower alkanoyl, lower alkoxycarbonyl, benzyloxycarbonyl, lower alkylsulfonyl or mono(or di or tri)halo(lower)alkylsulfonyl); or R12 and R13 optionally forming (CH2)nCHR15(CH2)m (wherein n, m, R15 = same as above); or R13 and R14 optionally forming oxo or two to five methylenes, optionally substituted Ph, naphthyl, benzo[b][1,3]dioxolyl, or pyrrolyl] and salts thereof are prepared. These compds. and pharmaceutically acceptable salts thereof have pharmacol. activities such as tachykinin antagonism, especially substance P antagonism, neurokinin A antagonism or neurokinin B antagonism, and therefore are useful for treating or preventing tachykinin-mediated diseases, particularly substance P-mediated diseases, for example, respiratory diseases such as asthma, bronchitis, rhinitis, cough, and expectoration; ophthalmic diseases such as conjunctivitis and vernal conjunctivitis; cutaneous diseases such as contact dermatitis, atopic dermatitis, urticaria, and other eczematoid dermatitis; inflammatory diseases such as rheumatoid arthritis and osteoarthritis; and pains or aches (e.g. migraine, headache, cluster headache, toothache, cancerous pain, back pain, neuralgia, etc.). Thus, chloroformate (3 drops) was added to a mixture of (6R,9aS)-4-benzhydryl-2-[2-

methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl}octahydropyrazino[1
,2-a]pyrazine trihydrochloride (12 mg) and N,N-diisopropylethylamine (6
drops) in dichloromethane (1 mL) under ice-cooling and stirred at the
same
temp. for 2 h to give, after work-up, purifn. on silica gel chromatog.,
and treatment with 4 N HCl/EtOAc,
(6S,9aR)-6-benzhydryl-8-[2-methoxy-5-[5-
(trifluoromethyl)-1H-tetrazol-1-yl]benzyl}octahydropyrazino[1,2-a]pyrazine-
2-carboxylic acid Me ester dihydrochloride (IV) (7.0 mg) as a colorless
powder. IV showed 95 % inhibition rate of emesis in the dog at the dose
of 1.0 mg/kg.

IT 305982-21-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of benzhydryl derivs. as tachykinin
antagonists
for treating or preventing tachykinin-mediated diseases)

RN 305982-21-1 CAPLUS

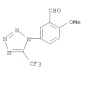
CN Acetic acid, 2-[5-formyl-4-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenoxy]-
, methyl ester (CA INDEX NAME)

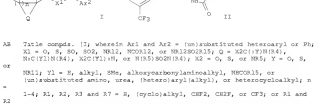
IT 168267-11-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of benzhydryl derivs. as tachykinin
antagonists for
treating or preventing tachykinin-mediated diseases)

RN 168267-11-6 CAPLUS

CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA
INDEX NAME)





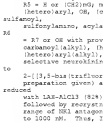
AB Title compds. [I; wherein Ar1 and Ar2 = (un)substituted heterocaryl or Ph;
X1 = O, S, SO, SO2, NR12, WCOR13, or NR12SO2R13; Q = XZC(:Y)N(R4),
N=C(Y)N(R4), XZC(Y):=N, or N(R5)SO2N(R4); X2 = O, S, or NR5; Y = O, S,
or
NR11; Y1 = R, alkyl, SR6, alkoxycarbonylaminoalkyl, NHCOR15, or
(un)substituted amino, urea, (hetero)aryl(alkyl), or heterocycloalkyl; n
=
1-4; R1, R2, R3 and R7 = R, (cyclo)alkyl, CHF2, CHZF, or CF3; or R1 and
R2
together with the C to which they are attached form an alkylene ring; or
R1 and R2 together are :O; R4 and R12 = independently H or (cyclo)alkyl;
R5 = H or (CH2)mG; m = 0-5; G = H, CF3, CHF2, CH2F, (cyclo)alkyl,
(hetero)aryl, OH, (cyclo)alkoxy, NO2R13, (un)substituted amino,
sulfamoyl,
sulfonylamino, acylamino, carbamoyl, carboxy, urea, etc. with provisos;
R6
= R7 or OH with provisos; R11 = H, (cyclo)alkyl, NO2, CN, OH, alkoxy,
carboxy(alkyl), (hetero)aryl(alkyl), etc.; R13 = H, (cyclo)alkyl, or
(hetero)aryl(alkyl), etc.; R15 = (cyclo)alkyl or CF3] were prepared as
selective neurokinin antagonists. For example, cycloadditn. of (NE4)2CO3
to
2-[3,5-bis(trifluoromethyl)phenyl]methoxy]-4'-fluoroacetophenone (4-step
preparation given) afforded the 2,4-imidazolidinedione (83%), which was
reduced
with LAH-AlCl3 (82%). Resolution of the racemates on a chiral column,
followed by recrystn., gave the imidazolidinone (-)-I. I exhibited a
range of NK1 antagonist activity with KI values ranging from about 0.1 nM
to 1000 nM. Thus, I and pharmaceutical compns. of I in combination with
selective serotonin reuptake inhibitors are useful in the treatment of
emesis, depression, anxiety, cough, and other NK1-related disorders (no
data).

IT 168267-01-4P 168267-11-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of 2-imidazolidinones and related compds.
as
selective neurokinin antagonists via cycloaddn. reactions)

RN 168267-01-4 CAPLUS

CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA
INDEX NAME)



RN 168267-11-6 CAPLUS

CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA
INDEX NAME)

ACCESSION NUMBER: 2001:653828 CAPLUS
DOCUMENT NUMBER: 135:613331
TITLE: Preparation of 2-imidazolidinones and related compounds as selective neurokinin antagonists
INVENTOR(S): Shuh, Heng-Yang; Shaw, Ho-Lane; Reichard, Gregory A.; Paliwal, Sunil; Blythin, David J.; Piwinski, John J.; Xiao, Dongy Chen, Xiao
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE(S): PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044206	A2	20010621	WO 2000-US33831	20001214
WO 2001044206	A3	20011213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376672	A1	20010621	CA 2000-2376672	20001214
US 6436929	B2	20020820	US 2000-737036	20001214
US 2002127493	A1	20020905		
EP 1237874	A2	20020911	EP 2000-984740	20001214
EP 1237874	B1	20060222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003502379	T	20030729	JP 2001-544696	20001214
HU 2003001607	A2	20031229	HU 2003-1607	20001214
HU 2003001607	A3	20040329		
AT 318259	E	20060315	AT 2000-984740	20001214
ES 2258465	T3	20060901	ES 2000-984740	20001214
ZA 2002004395	A	20030930	ZA 2002-4395	20020531
US 2003006496	A1	20030403	US 2002-163663	20020606
US 6635639	B2	20031021		
MX 2002PA06017	A	20021205	MX 2002-PA6017	20020617
PRIORITY APPLN. INFO.:			US 1999-172489P	P 19991217
			US 2000-737036	A3 20001214
			WO 2000-US33831	W 20001214

OTHER SOURCE(S): MARPAT 135:613331
GI

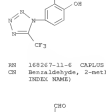
AB Title compds. [I; wherein Ar1 and Ar2 = (un)substituted heterocaryl or Ph;
X1 = O, S, SO, SO2, NR12, WCOR13, or NR12SO2R13; Q = XZC(:Y)N(R4),
N=C(Y)N(R4), XZC(Y):=N, or N(R5)SO2N(R4); X2 = O, S, or NR5; Y = O, S,
or
NR11; Y1 = R, alkyl, SR6, alkoxycarbonylaminoalkyl, NHCOR15, or
(un)substituted amino, urea, (hetero)aryl(alkyl), or heterocycloalkyl; n
=
1-4; R1, R2, R3 and R7 = R, (cyclo)alkyl, CHF2, CHZF, or CF3; or R1 and
R2
together with the C to which they are attached form an alkylene ring; or
R1 and R2 together are :O; R4 and R12 = independently H or (cyclo)alkyl;
R5 = H or (CH2)mG; m = 0-5; G = H, CF3, CHF2, CH2F, (cyclo)alkyl,
(hetero)aryl, OH, (cyclo)alkoxy, NO2R13, (un)substituted amino,
sulfamoyl,
sulfonylamino, acylamino, carbamoyl, carboxy, urea, etc. with provisos;
R6
= R7 or OH with provisos; R11 = H, (cyclo)alkyl, NO2, CN, OH, alkoxy,
carboxy(alkyl), (hetero)aryl(alkyl), etc.; R13 = H, (cyclo)alkyl, or
(hetero)aryl(alkyl), etc.; R15 = (cyclo)alkyl or CF3] were prepared as
selective neurokinin antagonists. For example, cycloaddn. of (NE4)2CO3
to
2-[3,5-bis(trifluoromethyl)phenyl]methoxy]-4'-fluoroacetophenone (4-step
preparation given) afforded the 2,4-imidazolidinedione (83%), which was
reduced
with LAH-AlCl3 (82%). Resolution of the racemates on a chiral column,
followed by recrystn., gave the imidazolidinone (-)-I. I exhibited a
range of NK1 antagonist activity with KI values ranging from about 0.1 nM
to 1000 nM. Thus, I and pharmaceutical compns. of I in combination with
selective serotonin reuptake inhibitors are useful in the treatment of
emesis, depression, anxiety, cough, and other NK1-related disorders (no
data).

IT 168267-01-4P 168267-11-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of 2-imidazolidinones and related compds.
as
selective neurokinin antagonists via cycloaddn. reactions)

RN 168267-01-4 CAPLUS

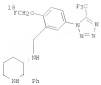
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA
INDEX NAME)



L8 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:227556 CAPLUS
DOCUMENT NUMBER: 132:251079
TITLE: Preparation of radiolabelled neurokinin-1 receptor antagonists
INVENTOR(S): Burns, R. Donald; Gibson, Raymond K.; Hamill, Terence G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

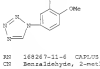
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008403	A1	20000406	WO 1999-US21617	19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2343316	A1	20000406	CA 1999-2343316	19990924
EP 1119358	A1	20010801	EP 1999-954491	19990924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525325	T	20020813	JP 2000-571921	19990924
US 6241964	B1	20010605	US 1999-407822	19990928
PRIORITY APPLN. INFO.:			US 1998-102349P	P 19980929
			WO 1999-US21617	W 19990924

OTHER SOURCE(S): MARPAT 132:251079
GI



AB Piperidine 1, a radiolabeled neurokinin-1 receptor antagonist, was prepared
IT 168267-01-4P 180574-28-3P

L8 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:69143 CAPLUS
DOCUMENT NUMBER: 132:122408
TITLE: Synthesis of carbon-14 labeled NK-1 receptor antagonists GR205040 and GR205171
AUTHOR(S): Cable, Karl M.; Wells, Guy N.; Sutherland, Derek R.
CORPORATE SOURCE: Chemical Development Division, Glaxo Wellcome Medicines Research Centre, Hertfordshire, SG1 2NY, UK
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2000), 43(1), 29-45
CODEN: JLCRDW; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Syntheses of carbon-14 labeled versions of NK-1 receptor antagonists GR203040 and GR205171 are described. The carbon-14 atoms were introduced by palladium(0) catalyzed cyanation of iodoarom. substrates.
IT 168267-02-5P 168267-13-6P 261173-09-5P 261173-14-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbon-14 labeled NK-1 receptor antagonists GR203040 and GR205171)
RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 261173-09-5 CAPLUS
CN Benzaldehyde-formyl-14C, 2-methoxy-5-(1H-tetrazol-1-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preps. of fluorine-18 labeled piperidine deriv. as radiolabeled neurokinin-1 receptor antagonist)
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 180574-28-1 CAPLUS
CN Benzaldehyde, 2-[(fluoromethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 261173-14-2 CAPLUS
CN Benzaldehyde-formyl-14C, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

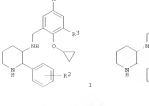
L8 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:325926 CAPLUS
DOCUMENT NUMBER: 131:5261
TITLE: Preparation of N-[[2-cyclopropoxy-5-(tetrazol-1-yl)phenyl]methyl]-2-phenylpiperidin-3-amine derivatives and their use as tachykinin antagonists
INVENTOR(S): Elliott, Matthew Jason
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

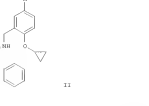
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924423	A1	19990520	WO 1998-GB3299	19981104
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2309162	A1	19990520	CA 1998-2309162	19981104
AU 9897554	A	19990531	AU 1998-97554	19981104
EP 1029857	A1	20000823	EP 1998-951001	19981104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI, LT, LV, FI, RO				
JP 2001502047	T	20011120	JP 2000-520437	19981104
US 20020015204	A1	20020502	US 2000-530990	20000508
			GB 1997-23544	A 19971107
			WO 1998-GB3299	W 19981104

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 131:5261
GI



I



II

AB Substituted piperidine derivs. I [R1 = H, Me, CF3; R2 = H, halo; R3 = H, halo] and their pharmaceutically acceptable salts are tachykinin receptor antagonists, of use, for example, in the treatment or prevention of pain, inflammation, migraine, emesis, postherpetic neuralgia, depression, and anxiety. The compds. show high hepatic stability, high oral bioavailability, high affinity for human NK-1 receptor, and enhanced duration of action. For instance, 2-hydroxy-5-nitrobenzaldehyde underwent etherification with 1-iodocyclopropyl Ph sulfide (40%), followed by reduction of nitro to amino (62%), reductive cleavage of phenylthio (77%), trifluoroacetylation of the amino group (84%), formation of the benzoate ester (88%), cyclocondensation with NaN3 to give a tetrazole derivative (81%), hydrolysis of the ester (97%), oxidation of the resulting alc. to an aldehyde (61%), and reductive amination of the aldehyde with (2S,3S)-2-phenylpiperidin-3-amine (92%), to give title compound II as the di-HCl salt. The latter had an IC50 of 0.09 nM at the human NK1 receptor.

IT 225246-36-6P, 2-Cyclopropoxy-5-[5-(trifluoromethyl)tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of [[cyclopropoxy(tetrazolyl)phenyl]methyl]phenyl piperidinamine derivs. as tachykinin antagonists)

RN 225246-36-6 CAPLUS
CN Benzaldehyde, 2-(cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:30883 CAPLUS
DOCUMENT NUMBER: 130:52422
TITLE: Preparation of ethane-1,2-diamines as tachykinin antagonists
INVENTOR(S): Harrison, Timothy Owens, Andrew Pate
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: Brit. UK Pat. Appl., 35 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2321058	A	19980715	GB 1998-490	19980109
US 5922714	A	19990713	US 1998-6008	19980112
			GB 1997-555	A 19970113

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 130:52422
GI

I

AB The title compds. [I; R = (un)substituted Ph, benzhydryl; R1 = H, (CH2)qMet (wherein Met = (un)substituted 5-6 membered aromatic heterocyclic group containing 1-3 N atoms); R2 = H, Cl-6 alkyl, (Cl-4 alkoxy)Cl-6 alkyl; R3 = H, Cl-6 alkyl, Cl-6 alkylcarbonyl; R4 = Cl-6 alkyl, Cl-6 alkoxy, C2-6 alkenyloxy, etc.; R5 = fluoroCl-6 alkoxy, (CH2)qMet1 (wherein Met1 = (un)substituted 5-6 membered aromatic heterocyclic group containing 1-4 heteroatoms chosen from N, O and S); R6a, R6b = H, Cl-6 alkyl], useful as tachykinin antagonists, were prepared Thus, reaction of NH-[(benzyloxy)carbonyl](R,R)-β-amino-2-phenylethanamine with 2-methoxy-5-(tetrazol-1-yl)benzaldehyde in the presence of NaBH3(CN), mol. sieves and citric acid in MeOH followed by hydrogenation of the resulting intermediate over Pd(OH)2/C in EtOH afforded I [R = Ph; R1-R3 = H, R4 = MeO; R5 = tetrazol-1-yl; R6a, R6b = H] which showed IC50 of < 1 nM at the NK1 receptor.

IT 168267-02-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ethane-1,2-diamines as tachykinin antagonists)

RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

L8 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:306975 CAPLUS
DOCUMENT NUMBER: 129:15967
ORIGINAL REFERENCE NO.: 129:3423a,3423a
TITLE: Preparation of arylcycloalkanes as tachykinin receptor antagonists.
INVENTOR(S): Caldwell, Charles G.; Chen, Ping; Durette, Philippe L.; Finke, Paul; Hale, Jeffrey; Nelson, Edward; Rogha, Ihor; Maccoss, Malcolm; Meurer, Laura; Mills, Sander G.; Robichaud, Albert
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 109 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5750549	A	19980512	US 1996-730277	19961015
PRIORITY APPLN. INFO.:			US 1996-730277	19961015

OTHER SOURCE(S): MARPAT 129:15967
GI

AB Title compds. [I; R3 = H, alkoxy, phenylalkoxy, Ph, cyano, halo, amino, (substituted) alkyl, null; R6-R8 = H, alkoxy, halo, (substituted) alkyl, CN, cyano, CF3, NO2, heterocyclyl, etc.; R11-R13 = H, (substituted) alkyl; halo, cyano, CF3, NO2, OH, alkoxy, etc.; A = Ph, benzofuranyl, benzothiophenyl, benzothiazolyl, imidolyl, imidazolyl, oxadiazolyl, pyridyl, pyrimidyl, quinolinyl, thiazolyl, thienyl, thiophenyl, dihydrobenzofuranyl; Q = H, alkyl; W = O, NH, alkylimino, NHCO, alkylimidoxoethoxyl; X = H, alkyl; Y = bond, (substituted) alkyl; Z = NR15, CONR15, SO2NR15, SO2, CO2R15, CHCOR15, null; R15 = H, (substituted) alkyl; n = 1-3; with provisos], were prepared Thus, Me 3(2S)-hydroxy-2(2S)-phenylcyclopentane-1(2S)-carboxylate (preparation given) was treated with 3,5-bis(trifluoromethyl)benzyl bromide and NaH in DMF to give Me 3(2S)-[3,5-bis(trifluoromethyl)phenylmethoxy]-2(2S)-phenylcyclopentane-1(2S)-carboxylate. I showed intrinsic tachykinin receptor antagonist activity in the range 0.05-10 μM.

L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 168266-93-1 168267-02-5 169267-11-6
190374-24-7 190271-82-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylcycloalkanes as tachykinin receptor antagonists)
RN 168266-93-1 CAPLUS
CN Benzaldehyde, 3-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 190374-24-7 CAPLUS
CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 190271-82-0 CAPLUS
CN Benzaldehyde, 2-(cyclobutyloxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

IT 168267-13-8P 190270-94-1P 190270-95-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylcycloalkanes as tachykinin receptor antagonists)
RN 168267-13-8 CAPLUS
CN Benzaldehyde, 2-(1-methylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 190270-94-1 CAPLUS
CN Benzaldehyde, 2-(1,1-dimethylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 190270-95-2 CAPLUS
CN Benzaldehyde, 2-(1-methylethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

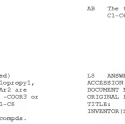
L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:187918 CAPLUS
DOCUMENT NUMBER: 128:230552
ORIGINAL REFERENCE NO.: 128:45674a,45674a
TITLE: Preparation of tetrazolyl-substituted quinuclidines as substance P antagonists
INVENTOR(S): Satake, Kunio
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXLDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 829480	A2	19980318	EP 1997-306412	19970828
EP 829480	A3	19980408		
EP 829480	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 198201	T	20010115	AT 1997-306412	19970828
ES 2152233	T3	20010201	ES 1997-306412	19970828
PT 829480	T	20010430	PT 1997-306412	19970828
US 5939434	A	19990817	US 1997-924171	19970905
CA 2215020	A1	19980312	CA 1997-2215020	19970910
CA 2215020	C	20050614		
JP 10087613	A	19980407	JP 1997-262965	19970911
JP 3273759	B2	20020415		
GR 3035379	T3	20010531	GR 2001-400096	20010207
PRIORITY APPLN. INFO.:			WO 1996-IB974	W 19960912
			EP 1997-306412	A 19970828
OTHER SOURCE(S):	MARPAT 128:230552			
GI				



AB The title compds. I (R1 = halo, C1-C6-alkyl, halo-C1-C6-alkyl, C1-C6-alkoxy or halo-C1-C6-alkoxy; R2 = H, C1-C6-alkyl, halo-C1-C6-alkyl,

L8 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

C1-C6-alkylthio, C1-C6-alkylsulfinyl-, C1-C6-alkylsulfonyl, cyclopropyl, F3, NZ2, NHNz, -NHC(:O)Me, NMe2, NEt2 or -CH2C(:O)CF3; Ar1 and Ar2 are independently Ph, halophenyl or thienyl; X = NH, O or S; Y = H, -COOR3 or -CONR4R5, wherein R3, R4 and R5 are independently hydrogen or C1-C6 alkyl;

and their pharmaceutically acceptable salts were prepd. These compds. are

useful as analgesics or anti-inflammatory agents, or in the treatment of allergic disorders, angiogenesis, CNS disorders, emesis, gastrointestinal disorders, surburn, urinary incontinence, or esp. as analgesics or anti-inflammatory agents in the periphery (no data). Thus, (2S,3S)-2-(diphenylmethyl)-1-azabicyclo[2.2.2]octane-3-amine was treated with 2-methoxy-5-(5-trifluoromethyltetrazol-1-yl)benzaldehyde in CH2Cl2 contg. sodium triacetoxyborohydride and AcOH to give (2S,3S)-3-[2-methoxy-

5-(5-trifluoromethyltetrazol-1-yl)benzylamino]-2-(diphenylmethyl)-1-azabicyclo[2.2.2]octane.

IT 168267-31-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tetrazolyl-substituted quinuclidines as substance P antagonists)

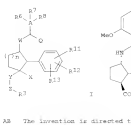
RN 168267-31-6 CAPLUS

CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:397335 CAPLUS
DOCUMENT NUMBER: 127:147439
ORIGINAL REFERENCE NO.: 127:3517a,3520a
TITLE: Cyclopentyl tachykinin receptor antagonists
INVENTOR(S): Finke, Paul E.; Maccoss, Malcom; Meurer, Laura C.; Mills, Sander G.; Caldwell, Charles G.; Chen, Ping; Durette, Philippe L.; Hale, Jeffery; Rolson, Edward; Kopka, Ihor; Robichaud, Albert
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Finke, Paul E.; Maccoss, Malcolm; Meurer, Laura C.; Mills, Sander G.; Caldwell, Charles G.; Chen, Ping; Durette, Philippe L.; Hale, Jeffrey; et al.
SOURCE: PCT Int. Appl., 343 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714671	A1	19970424	WO 1996-US14489	19961015
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2234813	A1	19970424	CA 1996-2234813	19961015
AU 9710497	A	19970507	AU 1997-10497	19961015
AU 712883	B2	19991014		
EP 858444	A1	19980819	EP 1996-941315	19961015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001514955	T	20011015	JP 1997-515929	19961015
PRIORITY APPLN. INFO.:			US 1995-55982	P 19951018
			GB 1996-5160	A 19960312
			WO 1996-US14489	W 19961015
OTHER SOURCE(S):	MARPAT 127:147439			
GI				



I II

AB The invention is directed to certain novel compds. I and their pharmaceutically acceptable salts [wherein R3 = H, OH, alkoxy, Ph, cyano, halo, (un)substituted NH2, heterocyclyl, etc.; R6, R7, R8 = H, alkoxy, halo, (un)substituted alkyl, OH, cyano, CF3, etc.; R11, R12, R13 = H, (un)substituted alkyl, halo, cyano, CF3, etc.; A = benzene or various heterocycles; Q = N, alkyl; W = O, NR, alkylimino, NHCO, alkyliminocarbonyl; X = N, alkyl; Y = bond, (un)substituted alkyl; Z = (un)substituted NR, CONR, NHCO, SO2NR, NHSO2, SO, CO2R, etc.; n = 1, 2, 3]. The invention is also concerned with pharmaceutical formulations comprising I as active ingredients, and use of I and their formulations in the treatment of certain disorders. I are tachykinin receptor antagonists

(no data) and are useful in the treatment of inflammatory diseases, pain, migraine, asthma, and emesis. For instance, reductive alkylation of the appropriate amine with 2-methoxy-5-[1-(tetrazolyl)benzaldehyde, by treatment with AcOH and ZA sieves in MeOH followed by NaBH3CN, gave title compound II.

IT 168267-37-8P 192170-94-1P 192170-95-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of cyclopentyl derivs. as tachykinin receptor antagonists)

RN 168267-37-8 CAPLUS

CN Benzaldehyde, 2-(1-methylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 192170-94-1 CAPLUS

CN Benzaldehyde, 2-(1,1-dimethylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-11-8 CAPLUS

CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 180574-24-7 CAPLUS

CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 192171-82-0 CAPLUS

CN Benzaldehyde, 2-(cyclobutyloxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 192170-95-2 CAPLUS

CN Benzaldehyde, 2-(1-methylethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

IT 168266-93-1 168267-02-5 168267-11-8

192576-24-7 192771-52-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of cyclopentyl derivs. as tachykinin receptor antagonists)

RN 168266-93-1 CAPLUS

CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-02-5 CAPLUS

CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

ACCESSION NUMBER: 1996:751549 CAPLUS
DOCUMENT NUMBER: 126:18876
ORIGINAL REFERENCE NO.: 126:3921a,3924a

TITLE: Preparation of 3-[tetrazolylbenzylamino]-2-phenylpiperidines as neurokinin antagonists.

INVENTOR(S): Giblin, Gerard Martin Paul; Sharratt, Peter John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629326	A1	19960926	WO 1996-EP1169	19960319
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9653335	A	19961008	AU 1996-53335	19960319
EA 9602000	A	19961030	EA 1996-2000	19960319
EP 815104	A1	19980107	EP 1996-909997	19960319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11502810	T	19990309	JP 1996-528073	19960319
IL 117553	A	20000716	IL 1996-117553	19960319
US 5891902	A	19990706	US 1997-894227	19970820
PRIORITY APPLN. INFO.:			GB 1995-5692	A 19950321
			IL 1996-111002	A2 19960319
			WO 1996-EP1169	W 19960319

OTHER SOURCE(S): MARPAT 126:18876
GI

I

AB Title compds. [I; R1 = alkoxy; R3 = H, halo; R4, R5 = H, halo, alkyl, alkoxy, CF3], were prepared Thus, (S,S)-2-phenyl-3-piperidinylamine, 2-ethoxy-5-(5-trifluoromethyltetrazol-1-yl)benzaldehyde, NaCNBH3, and HOAc were stirred in CHCl2 to give (S,S)-3-[2-methoxy-5-(5-trifluoromethyltetrazol-1-yl)benzylamino]-2-phenylpiperidine dihydrochloride. The latter was converted to (S,S)-3-[2-ethoxy-5-(5-trifluoromethyltetrazol-1-yl)benzylamino]-2-phenylpiperidine dihydrochloride which inhibited radiation-induced emesis in ferrets at 0.1 mg/kg s.c.

IT 183808-94-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-(tetrazolylbenzylamino)-2-phenylpiperidines as neurokinin antagonists)

RN 183808-94-8 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

IT 168267-01-4P 168267-11-6P 183808-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(tetrazolylbenzylamino)-2-phenylpiperidines as neurokinin antagonists)

RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 183808-92-6 CAPLUS
CN Benzaldehyde, 2-(1-methylpropoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:537692 CAPLUS
DOCUMENT NUMBER: 125:195658
ORIGINAL REFERENCE NO.: 125:36651a,36654a
TITLE: Preparation of 3-[[[(tetrazolyl)alkyl]phenyl]methyl]amino]piperidine tachykinin antagonists
INVENTOR(S): Armour, Duncan Robert; Giblin, Gerald Martin Paul; Pennell, Andrew Michael Kenneth; Sharratt, Peter John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9621661	A1	19960718	WO 1996-EP82	19960110
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
AU 9644378	A	19960731	AU 1996-44378	19960110
EP 802912	A1	19971029	EP 1996-900378	19960110
EP 802912	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
JP 10513473	T	19981117	JP 1996-521428	19960110
JP 3925662	B2	20070606		
AT 279406	T	20041015	AT 1996-900378	19960110
ES 2229259	T3	20050416	ES 1996-900378	19960110
US 6022344	A	20000201	US 1997-849727	19970708
PRIORITY APPLN. INFO.:			GB 1995-549	A 19950112
			GB 1995-5639	A 19950321
			GB 1995-5640	A 19950321
			WO 1996-EP82	W 19960110

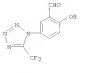
OTHER SOURCE(S): MARPAT 125:195658
GI

AB The title compds. [I; R1 = (cycloalkyl)alkyloxy, fluoroalkyloxy, etc.; R3 = H, halogen; R4, R5 = H, halogen, C1-4 alkyl, C1-4 alkoxy, CF3, etc.; R6 = H, C1-4 alkyl, (cyclopropyl)alkyl, Ph, etc.], useful in the treatment of diseases mediated by tachykinins, are prepared and 1-containing formulations presented. Thus, (2S)-phenylpiperidin-(3S)-ylamine was reacted with 2-cyclopentoxy-5-tetrazol-1-ylbenzaldehyde with triacetoxyborohydride followed by treatment with HCl, producing (2-cyclopentoxy-5-tetrazol-1-ylbenzyl)-[(2S,3S)-2-phenylpiperidin-3-yl]amine dihydrochloride.

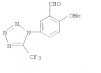
IT 168266-93-1P 168267-01-4P 168267-11-6P 180574-23-6P 180574-24-7P 180574-28-1P 180574-29-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-[[[(tetrazolyl)alkyl]phenyl]methyl]amine]piperidine tachykinin antagonists)

RN 168266-93-1 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

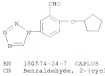
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



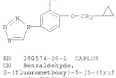
RN 168267-71-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 180574-23-2 CAPLUS
CN Benzaldehyde, 2-(cyclopentyloxy)-5-[1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 180574-24-7 CAPLUS
CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-[1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 180574-28-1 CAPLUS
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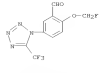
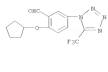
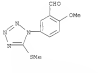


RN 180574-29-2 CAPLUS
CN Benzaldehyde, 2-(cyclopentyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

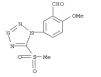


L8 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:314728 CAPLUS
DOCUMENT NUMBER: 125:48349
ORIGINAL REFERENCE NO.: 125:9009a
TITLE: Tetrazole NK1 receptor antagonists: the identification of an exceptionally potent orally active antiemetic compound
AUTHOR(S): Armour, D. R.; Chung, K. M. L.; Congreve, M.; Evans, B.; Hubbard, T.; Kay, C.; Middlemiss, D.; Mordaunt, J.
CORPORATE SOURCE: Glaxo Wellcome Medicines Research Centre, Hertfordshire, SG1 2NY, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(9), 1015-1020
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The medicinal chemical strategy is described which led to the identification of GR205171, an orally active non-peptide neurokinin-1 receptor antagonist that is the most potent broad-spectrum antiemetic agent reported to date.
IT 168267-97-0 168267-62-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation and structure-activity relations of tetrazole NK1 receptor antagonists)
RN 168267-97-0 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(methylthio)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 168267-62-7 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(methylsulfonyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:274729 CAPLUS
DOCUMENT NUMBER: 125:58398
ORIGINAL REFERENCE NO.: 125:11239a,11232a
TITLE: Synthesis of 5-N-substituted tetrazole derivatives of the potent NK1 receptor antagonist GR203040
AUTHOR(S): Cosgrove, Miles S.
CORPORATE SOURCE: Glaxo Wellcome Medicines Research Centre, Stevenage, SG1 2NY, UK
SOURCE: Synlett (1996), (4), 359-360
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of amino-tetrazole derivs. of GR203040 were synthesized as potential NK1 receptor antagonists. The synthesis of these analogs utilized a novel reaction sequence in which 1-aryltetrazoles were converted to 1-aryl-5-amino-tetrazoles via a cyanamide intermediate.
IT 168267-02-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(metalation of)
RN 168267-02-3 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

IT 168267-51-4P 168267-57-0P 168267-58-1P
177777-41-2P 177777-42-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reductive amination with chiral amines)
RN 168267-51-4 CAPLUS
CN Acetamide, N-[1-(3-formyl-4-methoxyphenyl)-1H-tetrazol-5-yl]- (CA INDEX NAME)

RN 168267-57-0 CAPLUS
CN Benzaldehyde, 5-[5-(dimethylamino)-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX
NAME)

L8 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 168267-58-1 CAPLUS
CN Benzaldehyde, 5-[5-(diethylamino)-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX NAME)

RN 177777-41-2 CAPLUS
CN Benzaldehyde, 5-[5-amino-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX NAME)

RN 177777-42-3 CAPLUS
CN Cyclopropanecarboxamide, N-[1-(3-formyl-4-methoxyphenyl)-1H-tetrazol-5-yl]- (CA INDEX NAME)

L8 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:965087 CAPLUS
DOCUMENT NUMBER: 124:76084
ORIGINAL REFERENCE NO.: 124:13917a,13920a
TITLE: Discovery of an Orally Bioavailable NK1 Receptor Antagonist, (2S,3S)-(2-Methoxy-5-tetrazol-1-ylbenzyl)(2-phenylpiperidin-3-yl)amine (GR203040), with Potent Antiemetic Activity
AUTHOR(S): Ward, Peter; Armour, Duncan R.; Bays, David E.; Evans,
Brian; Giblin, Gerard M. P.; Heron, Nicola; Hubbard, Tania; Liang, Kai; Middlemiss, David; et al.
CORPORATE SOURCE: Department of Medicinal Chemistry, Medicines Research Centre, Stevenage/ Herts, UK
SOURCE: Journal of Medicinal Chemistry (1995), 38(26), 4985-92
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:76084
AB The antiemetic, pharmacokinetic, and metabolic profile of CP-99994, a potent NK1 receptor antagonist, was carefully evaluated. The authors began a medicinal chemical program which initially identified a 3-furanyl analog with improved antiemetic potency and a Me sulfone with enhanced metabolic stability and oral bioavailability. The improved pharmacokinetic profile of the Me sulfone was associated with its low lipophilicity, and a therefore a number of heterocyclic analogs with reduced
log D were synthesized. Out of this program emerged GR203040, a tetrazolyl-substituted analog. The tetrazole inhibits radiation-induced emesis in the ferret with high potency when administered both s.c. and orally, has a long duration of action, and has high oral bioavailability in the dog. This tetrazole is currently undergoing evaluation as a novel approach for the control of emesis associated with, e.g., cancer chemotherapy.
IT 168267-02-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(condensation with piperidinylamine)
RN 168267-02-3 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

IT 168266-93-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 168266-93-1 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

	JP 1995-509554	A3	19940920
	WO 1994-EP3129	W	19940920
	US 1996-612843	A1	19960321

OTHER SOURCE(S): MARPAT 123:228191

GI

AB Title compds. I (R1 = Cl-4 alkoxy; R2 = (substituted)tetrazolyl; R3 = H, halo; R4, R5 = H, halo, Cl-4 alkyl, Cl-4 alkoxy, F3C) or a salt thereof, useful also as antiarrhythmics, are prepared [2S]-phenylpiperidin-[3S]-ylamine, 2-methoxy-5-(5-trifluoromethyltetrazol-1-yl)benzaldehyde (preparation given), NA triacetoxyborohydride and AcOH were reacted to give an oil which was treated with ethereal HCl to give 2-methoxy-5-(5 trifluoromethyltetrazol-1-yl)benzyl-[2S,3S]-2-phenylpiperidin-3-ylamine-2HCl (II). II at 0.03 mg/kg, given to ferret 1.5 h prior to irradiation inhibited radiation-induced emesis. Pharmaceutical formulations comprising I are given. I are claimed for a condition mediated by tachykinins, including substance P and other neurokinins.

IT 168267-33-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-(5-tetrazolylbenzyl)piperidinamine derivs. as tachykinin antagonists)

RN 168267-33-8 CAPLUS
CN Benzaldehyde, 2-(1-methylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

ACCESSION NUMBER:	1995:823812 CAPLUS
DOCUMENT NUMBER:	123:228191
ORIGINAL REFERENCE NO.:	123:40763a,40764a
TITLE:	Preparation of 3-(5-tetrazolylbenzyl)piperidinamine derivatives as tachykinin antagonists
INVENTOR(S):	Armour, Duncan Robert; Evans, Brian; Giblin, Gerard Martin Paul; Hann, Michael Montrelly; Hubbard, Tania; Lewell, Xiao-Qing; Middlemiss, David; Naylor, Alan; Pegg, Neil Anthony et al.
PATENT ASSIGNEE(S):	Glaxo Group Ltd., UK
SOURCE:	PCT Int. Appl., 93 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9508549	A1	19950330	WO 1994-EP3129	19940920
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SN, TD, TG				
IL 111002	A	19980924	IL 1994-111002	19940919
CA 2172529	A1	19950330	CA 1994-2172529	19940920
AU 9478974	A	19950410	AU 1994-78974	19940920
AU 681196	B2	19970821		
EA 9407291	A1	19950531	EA 1994-7291	19940920
EP 720609	A1	19960710	EP 1994-927627	19940920
EP 720609	B1	19981111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1135218	A	19961106	CN 1994-194145	19940920
CN 1041041	C	20010214		
JP 09505275	T	19970527	JP 1994-509554	19940920
JP 2845872	B2	19990106		
HU 75648	A2	19970528	HU 1996-722	19940920
AT 173255	T	19981115	AT 1994-927627	19940920
ES 2123829	T3	19990116	ES 1994-927627	19940920
JP 11004841	A	19990420	JP 1998-224891	19940920
CZ 285479	B6	19990811	CZ 1996-839	19940920
NO 9601175	C1	19990910	NO 1996-1175	19940920
KR 340575	B1	20000630	KR 1994-575	19940920
SK 280901	B6	20000911	SK 1996-383	19940920
PL 179585	B1	20000929	PL 1994-313619	19940920
TW 389762	B	20000511	TW 1994-81008989	19940926
FI 9601270	A	19960503	FI 1996-1270	19960319
NO 9601158	A	19960521	NO 1996-1158	19960321
NO 307830	B1	20000605		
US 5702340	A	19971230	US 1996-612843	19960321
US 5843966	A	19981201	US 1997-899198	19970723
PRIORITY APPLN. INFO.:			GB 1993-19606	A 19930920
			GB 1993-26583	A 19931231

IT 168266-93-1P 168266-94-2P 168266-95-3P
168266-96-4P 168266-97-5P 168266-98-6P
168266-99-7P 168267-00-3P 168267-01-4P
168267-02-5P 168267-03-6P 168267-04-7P
168267-05-8P 168267-06-9P 168267-07-0P
168267-08-1P 168267-09-2P 168267-10-5P
168267-11-6P 168267-12-7P 168267-51-4P
168267-57-0P 168267-58-1P 168267-60-5P
168267-62-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(5-tetrazolylbenzyl)piperidinamine derivs. as tachykinin antagonists)

RN 168266-93-1 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168266-94-2 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168266-95-3 CAPLUS
CN Benzaldehyde, 5-(5-ethyl-1H-tetrazol-1-yl)-2-hydroxy- (CA INDEX NAME)

RN 168266-96-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(5-propyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168266-97-5 CAPLUS
CN Benzaldehyde, 5-(5-cyclopropyl-1H-tetrazol-1-yl)-2-hydroxy- (CA INDEX NAME)

RN 168266-98-6 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(methylthio)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 168266-99-7 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(5-phenyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-04-7 CAPLUS
CN Benzaldehyde, 5-(5-ethyl-1H-tetrazol-1-yl)-2-methoxy- (CA INDEX NAME)

RN 168267-05-8 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(5-propyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-06-9 CAPLUS
CN Benzaldehyde, 5-(5-cyclopropyl-1H-tetrazol-1-yl)-2-methoxy- (CA INDEX NAME)

RN 168267-07-0 CAPLUS

RN 168267-00-3 CAPLUS
CN Benzaldehyde, 3-fluoro-2-hydroxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-03-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

CN Benzaldehyde, 2-methoxy-5-[5-(methylthio)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 168267-08-1 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(5-phenyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-09-2 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(methylamino)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 168267-10-5 CAPLUS
CN Benzaldehyde, 3-fluoro-2-methoxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-71-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 168267-72-7 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

RN 168267-91-4 CAPLUS
CN Acetamide, N-[1-(3-formyl-4-methoxyphenyl)-1H-tetrazol-5-yl]- (CA INDEX NAME)

RN 168267-57-0 CAPLUS
CN Benzaldehyde, 5-[5-(dimethylamino)-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX

RN 168267-58-1 CAPLUS
CN Benzaldehyde, 5-[5-(diethylamino)-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX NAME)

RN 168267-60-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(3,3,3-trifluoro-2-oxopropyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

RN 168267-62-7 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(methylsulfonyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

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COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              169.43     533.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              -24.80     -25.60

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